L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2010:845041 CAPLUS Full-text

DN 153:175010

TI Preparation of tricyclic heteroaryl compounds as squalene synthase inhibitors

IN Sugita, Kazuyuki; Otsuka, Masaki; Oki, Hitoshi; Haginoya, Noriyasu; Ichikawa, Masanori; Ota, Masahiro; Shibata, Norihiro

PA Daiichi Sankyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 277pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 2

L WIN *	PATENT NO.				KIND		DATE			APPLICATION NO.				DATE				
ΡI					A	_	20100708			JP 2007-115164					20070425			
	WO	2008132846			A1 20081106		WO 2008-JP1073				20080424							
		W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	ΕE,	EG,	ES,
			FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
			KG,	KM,	KN,	ΚP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ME,	MG,	MK,	MN,	MW,	MX,	MΥ,	ΜZ,	NA,	NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,
			TN,	TR,	TT,	TZ,	UA,	ŪG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW			
		RW:	ΑT,	ΒE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
			ΤG,	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,
			AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM							
PRAI GI	JP	2007	-115	164		А		2007	0425									

Title compds., e.g., I [or pharmaceutically acceptable salts or solvates thereof], etc., were prepared For example, reaction of compound II (preparation given) with NH2NH2·H2O followed by in-situ treatment with trifluoroacetic anhydride, de-allylation, ethylation, and hydrolysis afforded compound I. In squalene synthase inhibition assays, the IC50 of I was 0.98 nM. The invention compds. are claimed useful for the treatment of hypercholesterolemia, hyperlipidemia, etc.

IT 1075688-02-6P 1075688-08-2P 1075688-16-2P 1075688-22-0P 1075688-24-2P 1075688-30-0P 1075688-36-6P 1075688-39-9P 1075688-53-7P

1075688-64-0P 1075688-83-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic heteroaryl compds. as squalene synthase inhibitors)

RN 1075688-02-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-ethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-08-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2-chloro-3-ethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-16-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-ethoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1075688-22-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-ethoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-24-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2,3-dimethoxyphenyl)-8-(trifluoromethoxy)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1075688-30-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-(difluoromethoxy)-2-methoxyphenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-36-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(3-ethoxy-2-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-39-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(2-ethyl-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1075688-53-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)-8-chloro-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-64-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(1,1-difluoroethyl)-6-(3-methoxy-2-methylphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1075688-83-3 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX

ethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-

Absolute stereochemistry.

NAME)

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2-chloro-3-ethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-15-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-ethoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-21-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-ethoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1075688-23-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2,3-dimethoxyphenyl)-8-(trifluoromethoxy)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-29-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-(difluoromethoxy)-2-methoxyphenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1075688-35-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(3-ethoxy-2-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-38-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(2-ethyl-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-52-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)-8-chloro-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1075688-63-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(1,1-difluoroethyl)-6-(3-methoxy-2-methylphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-82-2 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, methyl ester (CA INDEX NAME)

RN 1234205-62-9 CAPLUS

CN 1-Piperazinebutanoic acid, $4-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-<math>\gamma$ -oxo-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1234206-00-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-bromo-6-(2-chloro-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1234206-02-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-bromo-1-(chlorodifluoromethyl)-6-(2-chloro-3-methoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1234206-04-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-bromo-6-(2-chloro-3-methoxyphenyl)-1-(1,1-difluoroethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1234206-06-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-methoxyphenyl)-8-cyano-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1234206-72-4 CAPLUS

CN 3-Azetidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1234206-74-6 CAPLUS

CN 2-Azetidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1234206-75-7 CAPLUS

CN 2-Azetidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1234206-76-8 CAPLUS

CN Acetic acid, 2-[[1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-4-piperidinyl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

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ΙT
     1234205-54-9P
                     1234205-63-0P
                                      1234205-64-1P
     1234206-01-9P
                     1234206-03-1P
                                      1234206-05-3P
     1234206-07-5P
                     1234206-73-5P
                                     1234206-77-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of tricyclic heteroaryl compds. as squalene synthase
        inhibitors)
RN
     1234205-54-9 CAPLUS
CN
     1-Piperazinebutanoic acid, 4-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-
     methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-
     a][4,1]benzoxazepin-4-yl]acetyl]-\gamma-oxo-, 1,1-dimethylethyl ester
     (CA INDEX NAME)
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RN 1234205-63-0 CAPLUS

CN 1-Piperazinebutanoic acid, $4-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-<math>\gamma$ -oxo- (CA INDEX NAME)

Absolute stereochemistry.

RN 1234205-64-1 CAPLUS

CN 1-Piperazinebutanoic acid, $4-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-<math>\gamma$ -oxo- (CA INDEX NAME)

RN 1234206-01-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-bromo-6-(2-chloro-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1234206-03-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-bromo-1-(chlorodifluoromethyl)-6-(2-chloro-3-methoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1234206-05-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-bromo-6-(2-chloro-3-methoxyphenyl)-1-(1,1-difluoroethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1234206-07-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-methoxyphenyl)-8-cyano-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1234206-73-5 CAPLUS

CN 3-Azetidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1234206-77-9 CAPLUS

CN Acetic acid, 2-[[1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-4-piperidinyl]oxy]- (CA INDEX NAME)

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2010:559861 CAPLUS Full-text

DN 152:525883

TI Preparation of tricyclic heteroaryl compounds as squalene synthase inhibitors

IN Sugita, Kazuyuki; Otsuka, Masaki; Oki, Hitoshi; Haginoya, Noriyasu; Ichikawa, Masanori; Ota, Masahiro; Shibata, Norihiro

PA Daiichi Sankyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 154pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	JP 2010100566	A	20100506	JP 2008-273706	20081024		
PRAI	JP 2008-273706		20081024				
GI							

AB Title compds., e.g., I [or pharmaceutically acceptable salts or solvates thereof], etc., were prepared For example, reaction of compound II (preparation given) with NH2NH2·H2O followed by in-situ treatment with trifluoroacetic anhydride, de-allylation, ethylation, and hydrolysis afforded compound I. In squalene synthase inhibition assays, the IC50 of I was 0.98 nM. The invention compds. are claimed useful for the treatment of hypercholesterolemia, hyperlipidemia, etc.

IT 1075688-02-6P 1075688-08-2P 1075688-16-2P 1075688-22-0P 1075688-24-2P 1075688-30-0P 1075688-36-6P 1075688-39-9P 1075688-53-7P 1075688-64-0P 1075688-83-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic heteroaryl compds. as squalene synthase inhibitors)

RN 1075688-02-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-ethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1075688-08-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2-chloro-3-ethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-16-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-ethoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1075688-22-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-ethoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-24-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2,3-dimethoxyphenyl)-8-(trifluoromethoxy)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-30-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-(difluoromethoxy)-2-methoxyphenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1075688-36-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(3-ethoxy-2-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-39-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(2-ethyl-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1075688-53-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)-8-chloro-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-64-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(1,1-difluoroethyl)-6-(3-methoxy-2-methylphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-83-3 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

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ΙT
     1075688-01-5P
                     1075688-07-1P
                                     1075688-15-1P
     1075688-21-9P
                     1075688-23-1P
                                     1075688-29-7P
     1075688-35-5P
                                     1075688-52-6P
                     1075688-38-8P
     1075688-63-9P
                    1075688-82-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of tricyclic heteroaryl compds. as squalene synthase
        inhibitors)
     1075688-01-5 CAPLUS
RN
CN
     4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-
     ethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-
     a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX
     NAME)
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Absolute stereochemistry.

RN 1075688-07-1 CAPLUS
CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2-chloro-3-ethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1075688-15-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-ethoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-21-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-ethoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1075688-23-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2,3-dimethoxyphenyl)-8-(trifluoromethoxy)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-29-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-(difluoromethoxy)-2-methoxyphenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-35-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(3-ethoxy-2-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1075688-38-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(2-ethyl-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-52-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)-8-chloro-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1075688-63-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(1,1-difluoroethyl)-6-(3-methoxy-2-methylphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-82-2 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, methyl ester (CA INDEX NAME)

- L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2010:500524 CAPLUS Full-text
- DN 152:477157
- TI Preparation of tricyclic heteroaryl compounds as squalene synthase inhibitors
- IN Sugita, Kazuyuki; Ota, Masahiro
- PA Daiichi Sankyo Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 71pp. CODEN: JKXXAF
- DT Patent

LA Japanese FAN.CNT 1

11111, 0111 1								
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
PI JP 2010090078	A	20100422	JP 2008-263475	20081010				
PRAI JP 2008-263475		20081010						
GI								

Title compds., e.g., I [or their pharmacol. acceptable salts], etc., were prepared For example, reaction of Et [(trans)-7-chloro-5-[3-methoxy-2-(trifluoromethyl)phenyl]-2-thioxo-1,2,3,5- tetrahydro-4,1-benzoxazepin-3-yl]acetate (preparation given) with NH2NH2·H2O followed by cyclization with trifluoroacetic anhydride, separation using chiral HPLC and hydrolysis afforded (4R,6R)-I. In squalene synthase inhibition assays, the IC50 value of (4R,6R)-I was 0.88 nM. The invention compds. are claimed useful for the treatment of hypercholesterolemia, hyperlipidemia, etc. Pharmaceutical composition comprising (4R,6R)-I is disclosed.

IT 1221755-68-5P 1221755-71-0P 1221755-74-3P 1221755-79-8P 1221755-85-6P 1221755-89-0P 1221755-98-1P 1221756-06-4P 1221756-10-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic heteroaryl compds. as squalene synthase inhibitors)

RN 1221755-68-5 CAPLUS

CN

4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-[3-methoxy-2-(trifluoromethyl)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1221755-71-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-[3-methoxy-2-(trifluoromethyl)phenyl]-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1221755-74-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(difluoromethyl)-6-[3-methoxy-2-(trifluoromethyl)phenyl]-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1221755-79-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1221755-85-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1221755-89-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-ethoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1221755-98-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-ethoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1221756-06-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1221756-10-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(chlorodifluoromethyl)-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-8-(trifluoromethyl)-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

1221756-77-9P ΙT 1221756-75-7P 1221756-78-0P 1221756-94-0P 1221757-02-3P 1221757-17-0P 1221757-36-3P 1221757-55-6P 1221757-59-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of tricyclic heteroaryl compds. as squalene synthase inhibitors) 1221756-75-7 CAPLUS RN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-[3-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-methoxy-2-mCN

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-[3-methoxy-2-(trifluoromethyl)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1221756-77-9 CAPLUS
CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6[3-methoxy-2-(trifluoromethyl)phenyl]-4H,6H-[1,2,4]triazolo[4,3a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1221756-78-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(difluoromethyl)-6-[3-methoxy-2-(trifluoromethyl)phenyl]-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1221756-94-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1221757-02-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1221757-17-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-ethoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1221757-36-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-ethoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$F_{3C}$$
 Br
 $OBu-t$

RN 1221757-55-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1221757-59-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(chlorodifluoromethyl)-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-8-(trifluoromethyl)-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

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L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
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AN 2010:475284 CAPLUS Full-text

DN 152:454154

TI Preparation of substituted tricyclic heteroaryl compound as squalene and cholesterol synthetase inhibitors

IN Sugita, Kazuyuki; Haginoya, noriyasu

PA Daiichi Sankyo Co., Ltd., Japan

SO PCT Int. Appl., 139pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

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FAN.CNI I																		
	PA:	CENT 1	NO.			KIN	D	DATE		1	APPL:	ICAT	I NOI	4O.		DA	ATE	
ΡI	PI WO 201			0041726			A1		20100415		WO 2009-JP67595					20091009		
		W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CL,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,
			ES,	FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
			KE,	KG,	KM,	KN,	KΡ,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,
			MD,	ME,	MG,	MK,	MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	ΝI,	NO,	NZ,	OM,	PE,
			PG,	PH,	PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,
			SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,
			SK,	SM,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,
			SN,	TD,	ΤG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	ΤZ,	UG,
			ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM					
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OS MARPAT 152:454154																		

$$\mathbb{R}^{1}$$
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AB Title compds. represented by the formula I [wherein R1 = (halo)alkoxy; R2 = halo, (halo)alkyl or alkoxy; R3 = halo, (halo)alkyl or (halo)alkoxy; R4 = hydroxyhaloalkyl; m = 0-4; -X-Y- = a single bond, alkylenecarbonyl-heterocyclyl or alkylene-heterocyclyl; and pharmaceutically acceptable salts thereof] were prepared as squalene and cholesterol synthetase inhibitors. For example, II was provided in a multi-step synthesis starting from 2-bromo-3-hydroxybenzaldehyde. I were tested for inhibition of rat squalene synthetase and rat liver cholesterol synthetase, e.g. II had an IC50 value of 1.7 nM on squalene synthetase and 84% inhibition rate on cholesterol synthetase. Thus,

I are useful as squalene and cholesterol synthetase inhibitors for the treatment of hyperlipemia including hypercholesterolemia, hypertriglyceridemia and hypo-HDL cholesterolemia and/or arteriosclerosis in mammals including human.

IT 1221180-10-4P 1221180-12-6P 1221180-14-8P 1221180-16-0P 1221180-18-2P 1221180-20-6P 1221180-22-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [1,2,4]triazolo[4,3-a]benzoxazepines as squalene and cholesterol synthetase inhibitors)

RN 1221180-10-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)-8-chloro-1-(1,1-difluoro-2-hydroxyethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1221180-12-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)-1-(1,1-difluoro-2-hydroxyethyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1221180-14-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-ethoxyphenyl)-8-chloro-

1-(1,1-difluoro-2-hydroxyethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1221180-16-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(1,1-difluoro-2-hydroxyethyl)-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1221180-18-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-ethoxyphenyl)-1-(1,1-difluoro-2-hydroxyethyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1221180-20-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-ethoxyphenyl)-1-(1,1-difluoro-2-hydroxyethyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1221180-22-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(1,1-difluoro-2-hydroxyethyl)-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-8-(trifluoromethyl)-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

ΙΤ 1221180-29-5P 1221180-38-6P 1221180-49-9P 1221180-60-4P 1221180-70-6P 1221180-80-8P 1221180-90-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of [1,2,4]triazolo[4,3-a]benzoxazepines as squalene and cholesterol synthetase inhibitors)

1221180-29-5 CAPLUS RN

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)-8chloro-1-(1,1-difluoro-2-hydroxyethyl)-4H,6H-[1,2,4]triazolo[4,3a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

1221180-38-6 CAPLUS RN

4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)-1-(1,1-inspection acid, 1-[2-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)]])]CN difluoro-2-hydroxyethyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

1221180-49-9 CAPLUS RN

4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-ethoxyphenyl)-8-chloro-CN 1-(1,1-difluoro-2-hydroxyethyl)-4H,6H-[1,2,4]triazolo[4,3a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX

Absolute stereochemistry.

RN 1221180-60-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(1,1-difluoro-2-hydroxyethyl)-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1221180-70-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-ethoxyphenyl)-1-(1,1-difluoro-2-hydroxyethyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1221180-80-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-ethoxyphenyl)-1-(1,1-difluoro-2-hydroxyethyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1221180-90-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(1,1-difluoro-2-hydroxyethyl)-6-[3-ethoxy-2-(trifluoromethyl)phenyl]-8-(trifluoromethyl)-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2008:1459108 CAPLUS Full-text

DN 149:576645

TI Preparation of tricyclic compounds such as pyrrolobenzoxazepine derivatives and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis

IN Sugita, Kazuyuki; Otsuka, Masaki; Oki, Hitoshi; Haginoya, Noriyasu; Ichikawa, Masanori; Ito, Masao

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SO Jpn. Kokai Tokkyo Koho, 1516pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PΙ	JP 2008291018	A	20081204	JP 2008-114684	20080424		
PRAI	JP 2007-115119	A	20070425				
OS	MARPAT 149:576645						
GI							

$$\begin{array}{c|c}
R2 & R1 \\
\hline
 & X \\
R2? & X \\
\hline
 & X \\
R3 & I
\end{array}$$

The title compds. [I; R1 = aryl or heteroaryl which may have 1 to 3 substituents; R2, R2a = H, halo, cyano, etc.; R3 = H, halo, alkyl, etc.; R4 = carboxyl, carboxycarbonyl, carboxyalkenyl, etc.; X = CH2, O, S; Y = N, CR3a; R3a = same as defined for R3; Z = N, CR3aa; R3aa = same as defined for R3; ring (N) = benzene or pyridine ring] are prepared I inhibit squalene synthetase and cholesterol synthesis. Thus, 2-(2-(2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-10-fluoro-4H,6H- pyrrolo[1,2-a][4,1]benzoxazepin-4-yl]ethyl)-2H-1,2,3,4-tetrazol-5- yl)acetic acid was prepared in a multistep process starting from 2-bromo-4-chloro-6-fluoroaniline and 2,5-dimethoxytetrahydrofuran. Compds. of this invention showed IC50 values of 0.56 nM to 7.6 nM against rat squalene synthetase.

IT 937062-05-0P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (preparation of tricyclic compds. such as pyrrolobenzoxazepine derivs. and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis)

RN 937062-05-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

IT 937064-87-4P 937064-93-2P 937064-94-3P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic compds. such as pyrrolobenzoxazepine derivs. and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis)

RN 937064-87-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2,3-dimethoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937064-93-2 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4S,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, (3S)- (CA INDEX NAME)

RN 937064-94-3 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 937062-06-1P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of tricyclic compds. such as pyrrolobenzoxazepine derivs. and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis)

RN 937062-06-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic compds. such as pyrrolobenzoxazepine derivs. and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis)

RN 937057-14-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[8-chloro-6-(2,3-dimethoxyphenyl)-1-methyl-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester (CA INDEX NAME)

RN 937057-18-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-methyl-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester, rel- (CA INDEX NAME)

RN 937059-80-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(2,2-dimethylpropyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937060-09-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

RN 937061-74-0 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1,2-dimethyl-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-,

ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937062-64-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-66-3 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, (3S)- (CA INDEX NAME)

RN 937062-68-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-70-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester, rel- (CA INDEX NAME)

RN 937062-72-1 CAPLUS
CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, rel-(CA INDEX NAME)

Relative stereochemistry.

RN 937062-74-3 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, rel(CA INDEX NAME)

Relative stereochemistry.

RN 937062-75-4 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

RN 937062-77-6 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-79-8 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, rel- (CA INDEX NAME)

RN 937065-13-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937065-15-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-cyano-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937065-44-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-methoxy-2-(trifluoromethoxy)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

RN 937066-16-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-fluoro-3-methoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-17-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-methoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 937066-19-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-1-(chlorodifluoromethyl)-6-(2-chloro-3-methoxyphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$

$$C1$$

$$OBu-t$$

$$OBu-t$$

RN 937066-21-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-methoxyphenyl)-1-(1,1-difluoroethyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$

$$C1$$

$$OBu-t$$

RN 937066-23-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2-chloro-3-methoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 937066-25-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-methoxyphenyl)-1-(1,1-difluoroethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-03-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]-1-oxopropyl]-, ethyl ester, rel- (CA INDEX NAME)

RN 937071-15-3 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-17-5 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-19-7 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester, rel- (CA INDEX NAME)

RN 937071-27-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-34-6 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-2-oxo-, ethyl ester (CA INDEX NAME)

RN 937071-36-8 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-1-carboxylic acid,
3-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-37-9 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-1-carboxylic acid, 3-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-65-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-methoxy-2-(trifluoromethoxy)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

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ΙT
     937057-15-3P
                    937057-19-7P
                                    937059-81-9P
     937060-10-18
                    937060-11-2P
                                    937061-60-4P
                    937061-64-82
     937061-62-6P
                                    937061-66-0P
     937061-72-8P
                    937061-76-2P
                                    937061-78-4P
     937062-07-2P
                    937062-08-3P
                                    937062-09-4P
     937062-65-2P
                    937062-67-4P
                                    937062-69-6P
     937062-71-0P
                    937062-73-2P
                                    937062-78-7P
     937062-80-1P
                    937062-88-9P
                                    937062-89-0P
     937064-88-5P
                    937064-95-4P
                                    937064-96-5P
     937065-14-0P
                    937065-16-2P
                                    937065-45-7P
     937066-07-4P
                    937066-08-5P
                                    937066-09-6P
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                    937066-11-0P
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                    937066-14-3P
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     937066-18-7P
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                                    937071-28-8P
     937071-18-6P
     937071-35-7P
                    937071-66-4P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic compds. such as pyrrolobenzoxazepine derivs. and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis)

RN 937057-15-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[8-chloro-6-(2,3-dimethoxyphenyl)-1-methyl-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{CH}_2-\text{CH}_2-\text{C} \\ \text{MeO} \\ \text{MeO} \end{array}$$

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-methyl-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937059-81-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(2,2-dimethylpropyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937060-10-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

RN 937060-11-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937061-60-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester, rel- (CA INDEX NAME)

CN 4-Piperidinepropanoic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, methyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937061-64-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937061-66-0 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

RN 937061-72-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1,2-dimethyl-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937061-76-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1,2-dimethyl-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937061-78-4 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1,2-dimethyl-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

RN 937062-07-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4S,6R)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-08-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937062-09-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4S,6R)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-65-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-67-4 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, (3S)- (CA INDEX NAME)

RN 937062-69-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-71-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

RN 937062-73-2 CAPLUS
CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-1(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937062-78-7 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-80-1 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

RN 937062-88-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-89-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937064-88-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2,3-dimethoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937064-95-4 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4S,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 937064-96-5 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, (3S)- (CA INDEX NAME)

RN 937065-14-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937065-16-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-cyano-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937065-45-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-methoxy-2-(trifluoromethoxy)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-07-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-08-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937066-09-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-6-(3-methoxy-2-methylphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-10-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-6-(3-methoxy-2-methylphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937066-11-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-12-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-13-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-fluoro-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 937066-14-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-fluoro-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-15-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-fluoro-3-methoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 937066-18-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-methoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-20-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-1-(chlorodifluoromethy1)-6-(2-chloro-3-methoxypheny1)-8-(trifluoromethy1)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-22-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-methoxyphenyl)-1-(1,1-difluoroethyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937066-24-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2-chloro-3-methoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-27-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-methoxyphenyl)-1-(1,1-difluoroethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & F \\ Me & N \\ N & N \\ \hline \\ C1 & C0_2H \\ \hline \\ OMe & C1 \\ \end{array}$$

RN 937070-94-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-10-methoxy-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937070-95-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-10-methoxy-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-04-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937071-16-4 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-18-6 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, (3S)- (CA INDEX NAME)

RN 937071-20-0 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937071-28-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-35-7 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-2-oxo- (CA INDEX NAME)

RN 937071-66-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-methoxy-2-(trifluoromethoxy)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

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L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
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AN 2008:1339790 CAPLUS Full-text

DN 149:534265

TI Preparation of tricyclic heteroaryl compounds as squalene synthetase inhibitors

IN Sugita, Kazuyuki; Ohtsuka, Masami; Oki, Hitoshi; Haginoya, Noriyasu;
Ichikawa, Masanori; Ota, Masahiro; Shibata, Yoshihiro

PA Daiichi Sankyo Co., Ltd., Japan

SO PCT Int. Appl., 250pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 2

ran.	PATENT NO.						D	DATE		APPLICATION NO.						DATE			
ΡI			A1 20081106			WO 2008-JP1073													
		W:	ΑE,	AG,	AL,	AM,	AO,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	
			KG,	KM,	KN,	KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	
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		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,	
			ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	
			TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
			AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	MT								
	JP 2010150142				Α		20100708 JE				007-	1151	20070425						
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There are disclosed 31 specific compds. such as 2-[(4R,6S)-8-chloro-6-(2-chloro-3-ethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetic acid and (2) 2-(1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-ethoxyphenyl)-1-(trifluoromethyl)- 4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-4- piperidinyl)acetic acid which have a squalene synthase inhibition activity and a cholesterol synthesis inhibition activity and are useful as medicinal agents such as prophylactic and/or therapeutic agents for hyperlipemia (including hypercholesterolemia, hypertriglyceridemia and hypo-HDL-cholesterolemia) and/or arteriosclerosis in a mammal including human. Thus, Et [trans-5-(2-bromo-3-methoxyphenyl)-7-

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chloro-2-thioxo- 1,2,3,5-tetrahydro-4,1-benzoxazepin-3-y1]acetate was
 condensed with hydrazine hydrate in THF under ice-cooling for 30 min to give a
hydrazone which was cyclocondensed with trifluoroacetic anhydride in
dichloroethane first at room temperature for 2 h and then under refluxing for
 2 h to give Et [trans-6-(2-bromo-3-methoxyphenyl)-8-chloro-1-
 (trifluoromethyl)-4H,6H- [1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetate
 (I). Chromatog. resolution of I using a Chiralpak AD column and a 2:8 mixture
of isopropanol and hexane as the eluent to give Et [(4R,6S)-6-(2-bromo-3-
methoxyphenyl)-8-chloro-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-
 a = [4,1]benzoxazepin-4-yl]acetate (II) and Et [(4S,6R)-6-(2-bromo-3-
methoxyphenyl)-8-chloro-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-
a][4,1]benzoxazepin-4-yl]acetate. II was stirred with a 4:1 mixture of AcOH and concentrated H2SO4 at 60^{\circ} for 7 h to give [(4R,6S)-6-(2-bromo-3-
methoxyphenyl)-8-chloro-1-(trifluoromethyl)-4H,6H- [1,2,4]triazolo[4,3-
a][4,1]benzoxazepin-4-yl]acetic acid (III). III showed IC50 of 0.46 \mu M
against squalene synthase and at 3 mg/kg in vivo inhibited by 98% the
 synthesis of cholesterol in the liver of rats after 1 h.
1075688-01-5P, tert-Butyl
2-[1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-ethoxyphenyl)-1-(trifluoromethyl)-
4H, 6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-4-
piperidinvllacetate
                                 1075688-07-1P, tert-Butvl
2-[1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethy1)-6-(2-chloro-3-
ethoxyphenyl)-4H, 6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-4-yl
piperidinyl]acetate 1075688-15-1P, tert-Butyl
2-[1-[2-[(4R,6R)-8-chloro-6-(3-ethoxy-2-methylphenyl)-1-(trifluoromethyl)-
4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-4-
piperidinyl]acetate
                                1075688-21-9P, tert-Butyl
2-[1-[2-(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-ethoxy-2-
methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-4-
piperidinyl]acetate 1075688-23-1P, tert-Butyl
2-[1-[2-[(4R,6S)-6-(2,3-dimethoxyphenyl)-8-(trifluoromethoxy)-1-
(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-
yl]acetyl]-4-piperidinyl]acetate 1075688-29-7P, tert-Butyl
2-[1-[2-[(4R,6S)-8-chloro-6-[3-(difluoromethoxy)-2-methoxyphenyl]-1-
(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-
yl]acetyl]-4-piperidinyl]acetate
                                                    1075688-35-5P, tert-Butyl
2-[1-[2-[(4R,6S)-8-chloro-6-(3-ethoxy-2-methoxyphenyl)-1-(trifluoromethyl)-
4H, 6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-y1]acety1]-4-
piperidinyl]acetate
                                 1075688-38-8P, tert-Butyl
2-[1-[(4R,6R)-8-chloro-6-(2-ethyl-3-methoxyphenyl)-1-(trifluoromethyl)-
4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]piperidin-4-
vl]acetate 1075688-39-9P,
[1-[(4R,6R)-8-Chloro-6-(2-ethyl-3-methoxyphenyl)-1-(trifluoromethyl)-
4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]piperidin-4-
yl]acetic acid 1075688-52-6P, tert-Butyl
2-[1-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8-chloro-1-(trifluoromethyl)-8
4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]piperidin-4-
                  1075688-63-9P, tert-Butyl
2-[1-[2-[(4R,6R)-1-(1,1-difluoroethyl)-6-(3-methoxy-2-methylphenyl)-8-
(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-
yl]acetyl]-4-piperidinyl]acetate 1075688-82-2P, Methyl
1-[2-[(4R,6R)-8-\text{chloro}-6-(3-\text{methoxy}-2-\text{methylphenyl})-1-(\text{trifluoromethyl})-1]
4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-3-
pyrrolidinecarboxylate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
     (preparation of tricyclic heteroaryl compds. as squalene synthetase
    inhibitors and cholesterol synthesis inhibitors)
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ΙT

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-ethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-07-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2-chloro-3-ethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-15-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-ethoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1075688-21-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-ethoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-23-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2,3-dimethoxyphenyl)-8-(trifluoromethoxy)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1075688-29-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-(difluoromethoxy)-2-methoxyphenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-35-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(3-ethoxy-2-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-38-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(2-ethyl-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1075688-39-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(2-ethyl-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-52-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)-8-chloro-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1075688-63-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(1,1-difluoroethyl)-6-(3-methoxy-2-methylphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-82-2 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

IT 1075688-03-6P 1075688-08-2P,
 2-[1-[2-[(4R,6S)-8-Chloro-1-(chlorodifluoromethyl)-6-(2-chloro-3-ethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-4-piperidinyl]acetic acid 1075688-16-2P,
 2-[1-[2-[(4R,6R)-8-Chloro-6-(3-ethoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-4-piperidinyl]acetic acid 1075688-22-0P,
 2-[1-[2-[(4R,6R)-8-Chloro-1-(chlorodifluoromethyl)-6-(3-ethoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-4-piperidinyl]acetic acid 1075688-24-2P,
 2-[1-[2-[(4R,6S)-6-(2,3-Dimethoxyphenyl)-8-(trifluoromethoxy)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-

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yl]acetyl]-4-piperidinyl]acetic acid
                                             1075688-30-0P,
     2-[1-[2-[(4R,6S)-8-Chloro-6-[3-(difluoromethoxy)-2-methoxyphenyl]-1-
     (trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-
     yl]acetyl]-4-piperidinyl]acetic acid 1075688-36-6P,
     2-[1-[2-[(4R,6S)-8-Chloro-6-(3-ethoxy-2-methoxyphenyl)-1-(trifluoromethyl)-
     4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-4-
     piperidinyl]acetic acid 1075688-53-7P,
     [1-[[(4R,6S)-6-(2-Bromo-3-methoxyphenyl)-8-chloro-1-(trifluoromethyl)-
     4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]piperidin-4-
                      1075688-64-0P,
     vllacetic acid
     2-[1-[2-[(4R,6R)-1-(1,1-Difluoroethyl)-6-(3-methoxy-2-methylphenyl)-8-
     (trifluoromethyl)-4H, 6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-
     yl]acetyl]-4-piperidinyl]acetic acid 1075688-83-3P,
     1-[2-[(4R,6R)-8-Chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-1-[3-[(4R,6R)-8-Chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-1-[3-[3-methoxy-2-methylphenyl]]
     4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-3-
     pyrrolidinecarboxylic acid
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
         (preparation of tricyclic heteroaryl compds. as squalene synthetase
        inhibitors and cholesterol synthesis inhibitors)
     1075688-02-6 CAPLUS
RN
CN
     4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-
     ethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-
     a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)
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Absolute stereochemistry.

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RN 1075688-08-2 CAPLUS
CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2-chloro-3-ethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)
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RN 1075688-16-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-ethoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-22-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-ethoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1075688-24-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2,3-dimethoxyphenyl)-8-(trifluoromethoxy)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-30-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-(difluoromethoxy)-2-methoxyphenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-36-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(3-ethoxy-2-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1075688-53-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-bromo-3-methoxyphenyl)-8-chloro-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1075688-64-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(1,1-difluoroethyl)-6-(3-methoxy-2-methylphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 1075688-83-3 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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AN 2007:538026 CAPLUS Full-text

DN 147:9956

TI Preparation of tricyclic compounds such as pyrrolobenzoxazepine derivatives and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis

IN Sugita, Kazuyuki; Otsuka, Masami; Oki, Hitoshi; Haginoya, Noriyasu;
Ichikawa, Masanori; Itoh, Masao

PA Daiichi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 1709pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

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r AN.	PATEN	KIND DA			ATE APPLICATION NO.														
ΡI	WO 20	WO 2007055093					A1 20070518			WO 2006-JP321056									
	W	: AE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,		
		KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,		
		MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	ΝI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,		
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,		
		TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW								
	R	W: AT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,		
		GM,	ΚE,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	ΚZ,	MD,	RU,	ТJ,	$_{ m TM}$												
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	R	: AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,		
		BA,	HR,	MK,	RS														
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PRAI JP 2005-306663																			
WO 2006-JP321056 W 20061023																			
ASSI	GNMENT	HISTO	RY F	OR U	S PA	TENT	AVA	ILAB:	LE I	N LS	US D	ISPL	AY F	ORMA'	Γ				
OS MARPAT 147:9956																			

$$\begin{array}{c|c}
R^2 & R^1 \\
\hline
(N) & X \\
R^2 & Y
\end{array}$$

$$\begin{array}{c|c}
R^4 & \\
R^3 & I
\end{array}$$

The title compds. I [R1 = aryl or heteroaryl which may have 1 to 3 substituents; R2, R2a = H, halo, cyano, etc.; R3 = H, halo, alkyl, etc.; R4 = carboxyl, carboxycarbonyl, carboxyalkenyl, etc.; X = CH2, O, S; Y = N, CR3a; R3a = same as defined for R3; Z = N, CR3aa; R3aa = same as defined for R3; ring (N) = benzene or pyridine ringl are prepared I inhibit squalene synthetase and cholesterol synthesis. Thus, 2-(2-(2-[(4R,6S)-8-chloro-6-(2,3-

dimethoxyphenyl)-10-fluoro-4H,6H- pyrrolo[1,2-a][4,1]benzoxazepin-4-yl]ethyl)-2H-1,2,3,4-tetrazol-5- yl)acetic acid was prepared in a multistep process starting from 2-bromo-4-chloro-6-fluoroaniline and 2,5- dimethoxytetrahydrofuran. Compds. of this invention showed IC50 values of 0.56 nM to 7.6 nM against rat squalene synthetase.

IT 937062-05-0P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (preparation of tricyclic compds. such as pyrrolobenzoxazepine derivs. and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis)

RN 937062-05-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

IT 937064-87-4P 937064-93-2P 937064-94-3P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic compds. such as pyrrolobenzoxazepine derivs. and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis)

RN 937064-87-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2,3-dimethoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 937064-93-2 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4S,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 937064-94-3 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, (3S)- (CA INDEX NAME)

IT 937062-06-1P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of tricyclic compds. such as pyrrolobenzoxazepine derivs. and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis)

RN 937062-06-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

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ΙT
     937057-14-2P
                    937057-18-6P
                                   937059-80-8P
                    937061-74-0P
     937060-09-8P
                                   937062-64-1P
     937062-66-3P
                    937062-68-5P
                                   937062-70-9P
     937062-72-1P
                    937062-74-3P
                                   937062-75-4P
     937062-77-6P
                    937062-79-8P
                                   937065-13-9P
     937065-15-1P
                    937065-44-6P
                                   937066-16-5P
     937066-17-6P
                    937066-19-8P
                                   937066-21-2P
     937066-23-4P
                    937066-25-6P
                                   937071-03-9P
     937071-15-3P
                    937071-17-5P
                                   937071-19-7P
     937071-27-7P
                    937071-34-6P
                                   937071-36-8P
     937071-37-9P
                    937071-65-3P
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RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic compds. such as pyrrolobenzoxazepine derivs. and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis)

RN 937057-14-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[8-chloro-6-(2,3-dimethoxyphenyl)-1-methyl-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester (CA INDEX NAME)

RN 937057-18-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-methyl-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937059-80-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(2,2-dimethylpropyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937060-09-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-

(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 937061-74-0 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1,2-dimethyl-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937062-64-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 937062-66-3 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-68-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

RN 937062-70-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937062-72-1 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, rel-(CA INDEX NAME)

Relative stereochemistry.

RN 937062-74-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, rel-(CA INDEX NAME)

Relative stereochemistry.

RN 937062-75-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937062-77-6 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

RN 937062-79-8 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937065-13-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937065-15-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-cyano-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 937065-44-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-methoxy-2-(trifluoromethoxy)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-16-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-fluoro-3-methoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937066-17-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-methoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-19-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-1-(chlorodifluoromethyl)-6-(2-chloro-3-methoxyphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$

$$C1$$

$$OBu-t$$

RN 937066-21-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-methoxyphenyl)-1-(1,1-difluoroethyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$F_{3}C$$

$$S$$

$$OBu-t$$

$$OBu-t$$

RN 937066-23-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2-chloro-3-methoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-25-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-methoxyphenyl)-1-(1,1-difluoroethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 937071-03-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]-1-oxopropyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937071-15-3 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-17-5 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester, (3S)- (CA INDEX NAME)

RN 937071-19-7 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937071-27-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

RN 937071-34-6 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-2-oxo-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-36-8 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-1-carboxylic acid, 3-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-37-9 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-1-carboxylic acid, 3-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937071-65-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-methoxy-2-(trifluoromethoxy)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

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ΙT
     937057-15-3P
                    937057-19-7P
                                    937059-81-9P
     937060-10-1P
                    937060-11-2P
                                    937061-60-4P
     937061-62-6P
                    937051-64-8P
                                    937061-66-0P
     937061-72-8P
                    937061-76-2P
                                    937061-78-4P
     937062-07-2P
                                    937062-09-4F
                    937062-08-3P
     937062-65-2P
                    937062-67-4P
                                    937062-69-6P
     937062-71-0P
                    937062-73-2P
                                    937062-78-7P
                    937062-88-9P
                                    937062-89-0P
     937062-80-1P
     937064-88-5P
                    937064-95-4P
                                    937064-96-5P
     937065-14-0P
                    937065-16-2P
                                    937065-45-7P
     937066-07-4P
                    937066-08-5P
                                    937066-09-6P
     937066-10-9P
                    937066-11-0P
                                    937066-12-1P
     937066-13-2P
                    937066-14-3P
                                    937066-15-4P
     937066-18-7P
                    937066-20-1P
                                    937066-22-3P
     937066-24-5P
                    937066-27-8P
                                    937070-94-5P
     937070-95-6P
                    937071-04-0P
                                    937071-16-4P
     937071-18-6P
                    937071-20-0P
                                    937071-28-8P
     937071-35-7P
                    937071-66-4P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic compds. such as pyrrolobenzoxazepine derivs. and analogs thereof for treatment of hypercholesteremia, hyperlipemia, and arteriosclerosis)

RN 937057-15-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[8-chloro-6-(2,3-dimethoxyphenyl)-1-methyl-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]- (CA INDEX NAME)

RN 937057-19-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-methyl-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937059-81-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(2,2-dimethylpropyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

RN 937060-10-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937060-11-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

RN 937061-60-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937061-62-6 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, methyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937061-64-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

RN 937061-66-0 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937061-72-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1,2-dimethyl-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937061-76-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1,2-dimethyl-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

RN 937061-78-4 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1,2-dimethyl-4H,6H-imidazo[1,2-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937062-07-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4S,6R)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

RN 937062-08-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-09-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4S,6R)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-65-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937062-67-4 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 937062-69-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937062-71-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937062-73-2 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937062-78-7 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6R)-8-chloro-6-(3-methoxy-2-methylphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937062-80-1 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937062-88-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 937062-89-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937064-88-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2,3-dimethoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937064-95-4 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4S,6R)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, (3S)- (CA INDEX NAME)

RN 937064-96-5 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2,3-dimethoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 937065-14-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-oxopropyl]- (CA INDEX NAME)

RN 937065-16-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-cyano-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937065-45-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-methoxy-2-(trifluoromethoxy)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-07-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 937066-08-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-09-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-6-(3-methoxy-2-methylphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 937066-10-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-6-(3-methoxy-2-methylphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-11-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-12-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6R)-1-(chlorodifluoromethyl)-6-(3-methoxy-2-methylphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937066-13-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-fluoro-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-14-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-fluoro-3-methoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937066-15-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-fluoro-3-methoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N
 N
 N
 O
 $OBu-t$

RN 937066-18-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-methoxyphenyl)-1,8-bis(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N
 N
 N
 C_{O2H}

RN 937066-20-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-1-(chlorodifluoromethyl)-6-(2-chloro-3-methoxyphenyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937066-22-3 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-6-(2-chloro-3-methoxyphenyl)-1-(1,1-difluoroethyl)-8-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937066-24-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-1-(chlorodifluoromethyl)-6-(2-chloro-3-methoxyphenyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937066-27-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2-chloro-3-methoxyphenyl)-1-(1,1-difluoroethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 937070-94-5 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-10-methoxy-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 937070-95-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-10-methoxy-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937071-04-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[3-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]-1-oxopropyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937071-16-4 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937071-18-6 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-20-0 CAPLUS

CN 3-Azetidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 937071-28-8 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 937071-35-7 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]-2-oxo- (CA INDEX NAME)

Absolute stereochemistry.

RN 937071-66-4 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[(4R,6S)-8-chloro-6-[3-methoxy-2-(trifluoromethoxy)phenyl]-1-(trifluoromethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzothiazepin-4-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

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L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
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- IN Woltering, Elisabeth; Haerter, Michael; Flessner, Timo; Jeske, Mario;
 Griebenow, Nils; Suessmeier, Frank; Bischoff, Hilmar; Raabe, Martin;
 Buchmueller, Anja; Kolkhof, Peter; Ellinghaus, Peter; Weber, Olaf
- PA Bayer Healthcare A.-G., Germany
- SO PCT Int. Appl., 138 pp. CODEN: PIXXD2

MARPAT 143:172909

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- DT Patent
- LA German

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AN 2005:673302 CAPLUS Full-text

DN 143:172909

TI Preparation of tricyclic benzazepines as squalene synthase inhibitors used for the treatment of cardiovascular diseases

AB Title compds. I [Z = (CH2)n;n = 1-3; A = aryl, heteroaryl, etc.; X = 0, S, NR5; R5 = H, alkyl; Y = N, CR6; R6 = H, OH, alkyl; R1, R2 = H, halo, CN, etc.; R3 = alkyl, alkenyl, alkynyl, etc.; R4 = OR7, NR8R9; R7 = H, alkyl; R8, R9 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of thioamide II and acetyl hydrazine afforded tricyclic benzazepine III in 49% yield. In squalene synthase inhibition assays, compds. I exhibited IC50 values <10 μM.

IT 1064250-47-0 1064250-48-1 1064250-49-2 1064250-55-0 1064250-58-3 1064250-60-7

1064250-67-4

RL: PRPH (Prophetic)

(Preparation of tricyclic benzazepines as squalene synthase inhibitors used for the treatment of cardiovascular diseases)

RN 1064250-47-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1064250-48-1 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(2-hydroxy-1,1-dimethylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(3-hydroxy-1-pyrrolidinyl)- (CA INDEX NAME)

RN 1064250-49-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1064250-55-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1064250-58-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1064250-60-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1064250-67-4 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(2-methoxy-1,1-dimethylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(3-hydroxy-1-pyrrolidinyl)- (CA INDEX NAME)

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IT
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                    860652-15-9P
                                    360652-16-0P
     860652-17-1P
                    860652-18-2P
                                    860652-19-3P
     860652-20-6P
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     860652-27-3P
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     860652-88-6P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic benzazepines as squalene synthase inhibitors used for the treatment of cardiovascular diseases)

RN 860652-09-1 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(1-piperidinyl)- (CA INDEX NAME)

RN 860652-15-9 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(1-pyrrolidinyl)- (CA INDEX NAME)

RN 860652-16-0 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

RN 860652-17-1 CAPLUS

CN 4-Piperidineacetic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-(CA INDEX NAME)

RN 860652-18-2 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(4-hydroxy-1-piperidinyl)(CA INDEX NAME)

RN 860652-19-3 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(4-morpholinyl)- (CA INDEX NAME)

RN 860652-20-6 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(4-methyl-1-piperidinyl)-(CA INDEX NAME)

RN 860652-22-8 CAPLUS

CN D-Proline, 1-[[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 860652-23-9 CAPLUS

CN D-Proline, 1-[[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (9CI) (CA INDEX NAME)

CN 3-Piperidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

RN 860652-25-1 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-(CA INDEX NAME)

RN 860652-26-2 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

RN 860652-27-3 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-(1-1)-1)]

methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl](CA INDEX NAME)

RN 860652-28-4 CAPLUS

CN 2-Pyrrolidinone, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 860652-29-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, methyl ester (CA INDEX NAME)

RN 860652-30-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-

(CA INDEX NAME)

RN 860652-31-9 CAPLUS

CN L-Proline, 1-[[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 860652-32-0 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(3-thiazolidinyl)- (CA INDEX NAME)

RN

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 860652-34-2 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 860652-35-3 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-(CA INDEX NAME)

RN 860652-36-4 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(3-hydroxy-1-pyrrolidinyl)(CA INDEX NAME)

RN 860652-37-5 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(1,1-dioxido-3-thiazolidinyl)- (CA INDEX NAME)

RN 860652-40-0 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(1,1-dioxido-4-thiomorpholinyl)- (CA INDEX NAME)

RN 860652-41-1 CAPLUS

CN Ethanone, 1-(4-acetyl-1-piperazinyl)-2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]- (CA INDEX NAME)

RN 860652-42-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 860652-46-6 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(2-methoxyethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(1-piperidinyl)- (CA INDEX NAME)

RN 860652-51-3 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(methoxymethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(1-piperidinyl)- (CA INDEX NAME)

RN 860652-56-8 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(hydroxymethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(1-piperidinyl)- (CA INDEX NAME)

RN 860652-65-9 CAPLUS

CN 2-Piperazinone, 4-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]- (CA INDEX NAME)

RN 860652-66-0 CAPLUS

CN 1-Piperazineacetic acid, 4-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, ethyl ester (CA INDEX NAME)

RN 860652-67-1 CAPLUS

CN 1-Piperazineacetic acid, 4-[2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-(CA INDEX NAME)

RN 860652-70-6 CAPLUS

CN Ethanone, 2-[8-chloro-6-(2,3-dimethoxyphenyl)-1-(2-hydroxy-1,1-dimethylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(1,1-dioxido-4-thiomorpholinyl)- (CA INDEX NAME)

RN 860652-85-3 CAPLUS

CN Ethanone, 2-[(4R,6R)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(4-methyl-1-piperidinyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 860652-86-4 CAPLUS

CN Ethanone, 2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]-1-(4-methyl-1-piperidinyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 860652-87-5 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-[2-[(4R,6R)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

RN 860652-88-6 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-[2-[(4R,6S)-8-chloro-6-(2,3-dimethoxyphenyl)-1-(1-methylethyl)-4H,6H-[1,2,4]triazolo[4,3-a][4,1]benzoxazepin-4-yl]acetyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L1 STR

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G1 O,S,N G2 C,N

Structure attributes must be viewed using STN Express query preparation. L2 QUE ABB=ON PLU=ON L1

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FILE 'REGISTRY' ENTERED AT 00:40:53 ON 29 SEP 2010

L1 STRUCTURE UPLOADED

FILE 'CAPLUS' ENTERED AT 00:41:32 ON 29 SEP 2010 L5 8 S L4

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FULL ESTIMATED COST	47.48	239.68
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.80	-6.80

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